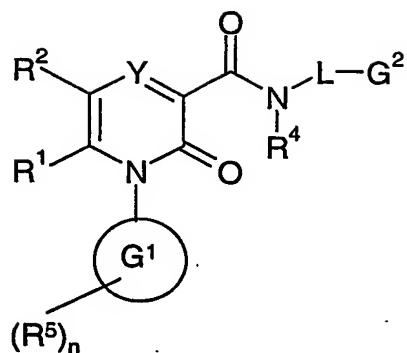


Claims

1. A compound of formula (I)



(I)

wherein:

Y represents CR^3 or N ;

10

R^1 represents H or C1 to 6 alkyl;

R^2 represents phenyl or a five- or six-membered heteroaromatic ring containing 1 to 4 heteroatoms independently selected from O, S and N; said aromatic ring being optionally substituted by 1 to 3 substituents selected independently from OH, halogen, C1 to 6 alkyl, 15 C1 to 6 alkoxy, $NR^{58}COR^{50}$, $COOR^{51}$, COR^{52} , $CONR^{53}R^{54}$ and $NR^{47}R^{48}$; said alkyl being optionally further substituted by OH, C1 to 6 alkoxy, CN or CO_2R^{49} ;

R^{47} and R^{48} independently represent H, C1 to 6 alkyl or C2 to 6 alkanoyl;

20

R^3 represents H or F;

G^1 represents phenyl or a five- or six-membered heteroaromatic ring containing 1 to 3 heteroatoms independently selected from O, S and N;

5 R^5 represents H, halogen, C1 to 6 alkyl, CN, C1 to 6 alkoxy, NO₂, NR¹⁴R¹⁵, C1 to 3 alkyl substituted by one or more F atoms or C1 to 3 alkoxy substituted by one or more F atoms;

10 R^{14} and R^{15} independently represent H or C1 to 3 alkyl; said alkyl being optionally further substituted by one or more F atoms;

15 n represents an integer 1, 2 or 3 and when n represents 2 or 3, each R^5 group is selected independently;

20 R^4 represents H or C1 to 6 alkyl; said alkyl being optionally further substituted by OH or C1 to 6 alkoxy;

25 or R^4 and L are joined together such that the group -NR⁴L represents a 5 to 7 membered azacyclic ring optionally incorporating one further heteroatom selected from O, S and NR¹⁶;

20 L represents a bond, O, S(O)p, NR²⁹ or C1 to 6 alkyl; said alkyl optionally incorporating a heteroatom selected from O, S and NR¹⁶; and said alkyl being optionally further substituted by OH or OMe;

25 G^2 represents a monocyclic ring system selected from:

- i) phenyl or phenoxy,
- ii) a 5 or 6 membered heteroaromatic ring containing one to three heteroatoms independently selected from O, S and N,
- iii) a C3 to 6 saturated or partially unsaturated cycloalkyl, or

iv) a C4 to 7 saturated or partially unsaturated heterocyclic ring containing one or two heteroatoms independently selected from O, S(O)_p and NR¹⁷ and optionally further incorporating a carbonyl group; or

5 G² represents a bicyclic ring system in which each of the two rings is independently selected from:

- i) phenyl,
- ii) a 5 or 6 membered heteroaromatic ring containing one to three heteroatoms independently selected from O, S and N,
- 10 iii) a C3 to 6 saturated or partially unsaturated cycloalkyl, or
- iv) a C4 to 7 saturated or partially unsaturated heterocyclic ring containing one or two heteroatoms independently selected from O, S(O)_p and NR¹⁷ and optionally further incorporating a carbonyl group;

15

and the two rings are either fused together, or are bonded directly together or are separated by a linker group selected from O, S(O)_q or CH₂,

20 said monocyclic or bicyclic ring system being optionally further substituted by one to three substituents independently selected from CN, OH, C1 to 6 alkyl, C1 to 6 alkoxy, halogen, NR¹⁸R¹⁹, NO₂, OSO₂R³⁸, CO₂R²⁰, C(=NH)NH₂, C(O)NR²¹R²², C(S)NR²³R²⁴, SC(=NH)NH₂, NR³¹C(=NH)NH₂, S(O)_sR²⁵, SO₂NR²⁶R²⁷, C1 to 3 alkoxy substituted by one or more F atoms and C1 to 3 alkyl substituted by SO₂R³⁹, NR⁵⁶R⁵⁷ or by one or more F atoms;

25 or

when L does not represent an bond, G² may also represent H;

At each occurrence, p, q, s and t independently represent an integer 0, 1 or 2;

R^{18} and R^{19} independently represent H, C1 to 6 alkyl, formyl, C2 to 6 alkanoyl, $S(O)_tR^{32}$ or $SO_2NR^{33}R^{34}$; said alkyl group being optionally further substituted by halogen, CN, C1 to 4 alkoxy or $CONR^{41}R^{42}$;

5

R^{25} represents H, C1 to 6 alkyl or C3 to 6 cycloalkyl; said alkyl group being optionally further substituted by one or more substituents selected independently from OH, CN, $CONR^{35}R^{36}$, CO_2R^{37} , $OCOR^{40}$, C3 to 6 cycloalkyl, a C4 to 7 saturated heterocyclic ring containing one or two heteroatoms independently selected from O, $S(O)_p$ and NR^{43} and phenyl or a 5 or 6 membered heteroaromatic ring containing one to three heteroatoms independently selected from O, S and N; said aromatic ring being optionally further substituted by one or more substituents selected independently from halogen, CN, C1 to 4 alkyl, C1 to 4 alkoxy, OH, $CONR^{44}R^{45}$, CO_2R^{46} , $S(O)_sR^{55}$ and $NHCOCH_3$;

10 15 R^{32} represents H, C1 to 6 alkyl or C3 to 6 cycloalkyl;

$R^{16}, R^{17}, R^{20}, R^{21}, R^{22}, R^{23}, R^{24}, R^{26}, R^{27}, R^{29}, R^{31}, R^{33}, R^{34}, R^{35}, R^{36}, R^{37}, R^{38}, R^{39}, R^{40}, R^{41}, R^{42}, R^{43}, R^{44}, R^{45}, R^{46}, R^{49}, R^{50}, R^{51}, R^{52}, R^{53}, R^{54}, R^{55}, R^{56}, R^{57}$ and R^{58} independently represent H or C1 to 6 alkyl;

20 and pharmaceutically acceptable salts thereof.

2. A compound of formula (I), according to Claim 1, wherein Y represents CR^3 .

25 3. A compound of formula (I), according to Claim 1 or Claim 2, wherein G^1 represents phenyl.

4. A compound of formula (I), according to any one of Claims 1 to 3, wherein R⁵ represents Cl, CH₃, CN or CF₃.

5. A compound of formula (I), according to any one of Claims 1 to 4, or a
5 pharmaceutically acceptable salt thereof, for use as a medicament.

6. A pharmaceutical formulation comprising a compound of formula (I), as defined in any one of Claims 1 to 4, or a pharmaceutically acceptable salt thereof, optionally in admixture with a pharmaceutically acceptable diluent or carrier.

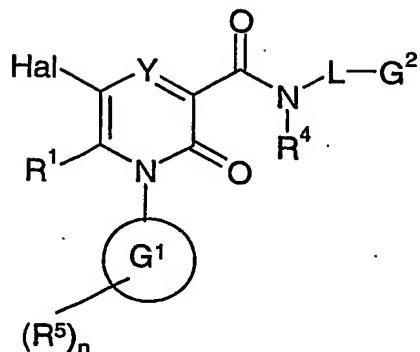
10 7. A method of treating, or reducing the risk of, a human disease or condition in which inhibition of neutrophil elastase activity is beneficial which comprises administering to a person suffering from or susceptible to such a disease or condition, a therapeutically effective amount of a compound of formula (I), as defined in any one of Claims 1 to 4, or a
15 pharmaceutically acceptable salt thereof.

8. The use of a compound of formula (I) as defined in any one of Claims 1 to 4, or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for the treatment or prophylaxis of human diseases or conditions in which inhibition of neutrophil
20 elastase activity is beneficial.

9. The use of a compound of formula (I) as defined in any one of Claims 1 to 4, or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for the treatment or prophylaxis of inflammatory diseases or conditions.

25 10. A process for the preparation of a compound of formula (I), as defined in any one of Claims 1 to 4, and optical isomers, racemates and tautomers thereof and pharmaceutically acceptable salts thereof, which comprises:

30 a) reacting a compound of formula (II)

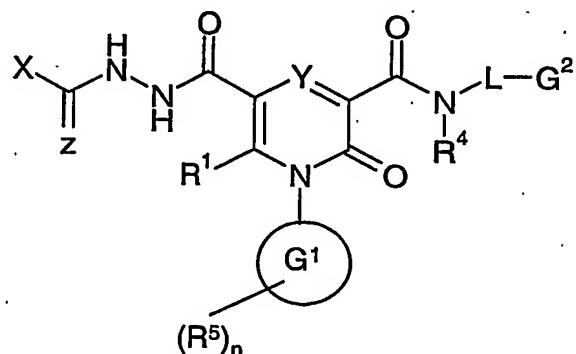


wherein R^1 , R^4 , R^5 , Y , G^1 , G^2 , L and n are as defined in formula (I) and Hal represents a halogen atom, preferably bromo or iodo;

5 with a nucleophile R^2 -M wherein R^2 is as defined in formula (I) and M represents an organo-tin or organo boronic acid group; or

b) when R^2 represents a 1,3,4-oxadiazol-2-yl or a 1,3,4-thiadiazol-2-yl ring, reacting a compound of formula (III)

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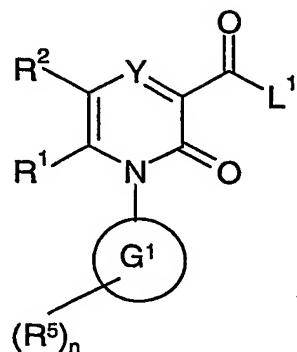


(III)

wherein R^1 , R^4 , R^5 , Y , G^1 , G^2 , L and n are as defined in formula (I), Z represents O or S and X represents C1 to 6 alkyl or $NR^{47}R^{48}$ and R^{47} and R^{48} are as defined in formula (I);

15 with a suitable dehydrating agent such as phosphoryl chloride or trimethylsilyl polyphosphate; or

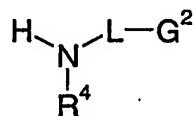
c) reacting a compound of formula (XV)



(XV)

wherein R^1 , R^2 , R^5 , n , G^1 and Y are as defined in formula (I) and L^1 represents a leaving group,

with a compound of formula (IX) or a salt thereof



(IX)

wherein R^4 , G^2 and L are as defined in formula (I);

and where desired or necessary converting the resultant compound of formula (I), or another salt thereof, into a pharmaceutically acceptable salt thereof; or converting one compound of formula (I) into another compound of formula (I); and where desired converting the resultant compound of formula (I) into an optical isomer thereof.

15

and where desired or necessary converting the resultant compound of formula (I), or another salt thereof, into a pharmaceutically acceptable salt thereof; or converting one compound of formula (I) into another compound of formula (I); and where desired converting the resultant compound of formula (I) into an optical isomer thereof.